```
* * * * * * * * * *  Welcome to STN International  * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  JAN 27  Source of Registration (SR) information in REGISTRY updated
                  and searchable
 NEWS  4  JAN 27  A new search aid, the Company Name Thesaurus, available in
                  CA/CAplus
 NEWS  5  FEB 05  German (DE) application and patent publication number format
                  changes
 NEWS  6  MAR 03  MEDLINE and LMEDLINE reloaded
 NEWS  7  MAR 03  MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 03  FRANCEPAT now available on STN
 NEWS  9  MAR 29  Pharmaceutical Substances (PS) now available on STN
 NEWS 10  MAR 29  WPIFV now available on STN
 NEWS 11  MAR 29  New monthly current-awareness alert (SDI) frequency in RAPRA
 NEWS 12  APR 26  PROMT: New display field available
 NEWS 13  APR 26  IFIPAT/IFIUDB/IFICDB: New super search and display field
                  available
 NEWS 14  APR 26  LITALERT now available on STN
 NEWS 15  APR 27  NLDB: New search and display fields available
 NEWS 16  May 10  PROUSDDR now available on STN
 NEWS 17  May 19  PROUSDDR: One FREE connect hour, per account, in both May
                  and June 2004
 NEWS 18  May 12  EXTEND option available in structure searching
 NEWS 19  May 12  Polymer links for the POLYLINK command completed in REGISTRY
 NEWS 20  May 17  FRFULL now available on STN
 NEWS 21  May 27  STN User Update to be held June 7 and June 8 at the SLA 2004
                  Conference
 NEWS 22  May 27  New UPM (Update Code Maximum) field for more efficient patent
                  SDIs in CAplus
 NEWS 23  May 27  CAplus super roles and document types searchable in REGISTRY
 NEWS 24  May 27  Explore APOLLIT with free connect time in June 2004

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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  * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:56:40 ON 09 JUN 2004

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21
```

```
FILE 'REGISTRY' ENTERED AT 10:56:55 ON 09 JUN 2004
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STRUCTURE FILE UPDATES:    7 JUN 2004  HIGHEST RN 690625-61-7
DICTIONARY FILE UPDATES:   7 JUN 2004  HIGHEST RN 690625-61-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1       STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

=> s l1
SAMPLE SEARCH INITIATED 10:59:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     56 TO ITERATE

100.0% PROCESSED      56 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          672 TO     1568
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 10:59:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1134 TO ITERATE

100.0% PROCESSED    1134 ITERATIONS                               1 ANSWERS
SEARCH TIME: 00.00.01

L3            1 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             157.10     157.31
```

FILE 'HCAPLUS' ENTERED AT 11:00:01 ON 09 JUN 2004
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FILE COVERS 1907 - 9 Jun 2004 VOL 140 ISS 24
FILE LAST UPDATED: 8 Jun 2004 (20040608/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4 1 L3

=> d l4, ibib abs hitstr, 1

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1997:457074 HCAPLUS
DOCUMENT NUMBER: 127:81461
TITLE: Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors
INVENTOR(S): Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719065	A1	19970529	WO 1996-GB2854	19961120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5958935	A	19990928	US 1996-753041	19961119

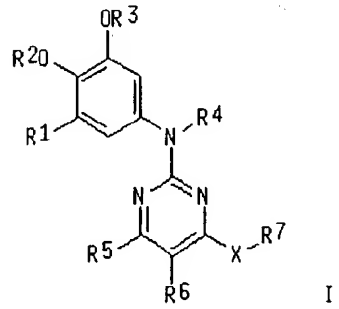
AU 9676314	A1	19970611	AU 1996-76314	19961120
EP 862560	A1	19980909	EP 1996-939171	19961120
EP 862560	B1	20030402		
R: CH, DE, ES, FR, GB, IT, LI				
ES 2195020	T3	20031201	ES 1996-939171	19961120
US 6235746	B1	20010522	US 1999-249760	19990216

PRIORITY APPLN. INFO.:

GB 1995-23675	A	19951120
US 1996-753041	A3	19961119
WO 1996-GB2854	W	19961120

OTHER SOURCE(S): MARPAT 127:81461

GI



I

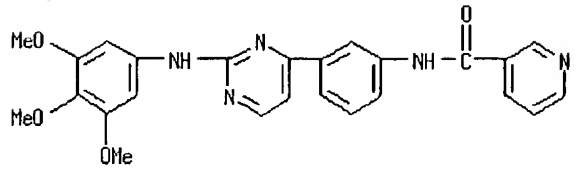
AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliph., cycloaliph., heteroaliph., heterocycloaliph., arom. or heteroarom. group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepd. Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]-N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N2H4.H2O in EtOH afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O] which showed IC50 of 22 nM in the protein kinase assay.

IT **191727-68-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 2-anilinopyrimidines as protein kinase inhibitors)

RN 191727-68-1 HCAPLUS

CN 3-Pyridinecarboxamide, N-[3-[2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)



=>

L5 STRUCTURE UPLOADED

```
=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     14.19     171.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -0.69      -0.69

FILE 'REGISTRY' ENTERED AT 11:02:27 ON 09 JUN 2004
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STRUCTURE FILE UPDATES:     7 JUN 2004  HIGHEST RN 690625-61-7
DICTIONARY FILE UPDATES:    7 JUN 2004  HIGHEST RN 690625-61-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L6      STRUCTURE UPLOADED

=> s l6
SAMPLE SEARCH INITIATED 11:02:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  14853 TO ITERATE

  6.7% PROCESSED    1000 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       289764 TO   304356
PROJECTED ANSWERS:           14624 TO    18052

L7           50 SEA SSS SAM L6

=>
L8      STRUCTURE UPLOADED

=> d 18
L8 HAS NO ANSWERS
L8              STR
```
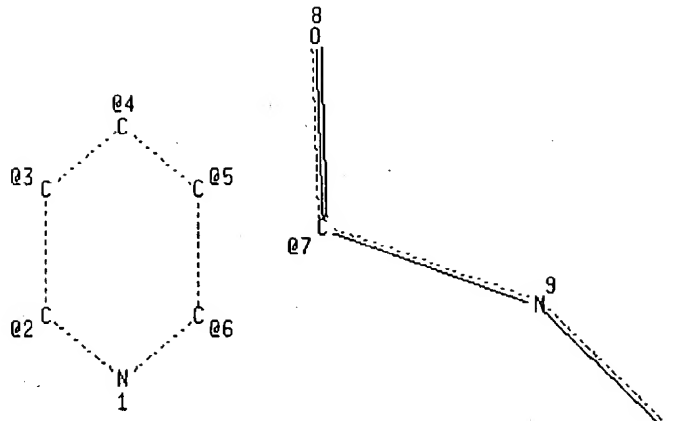
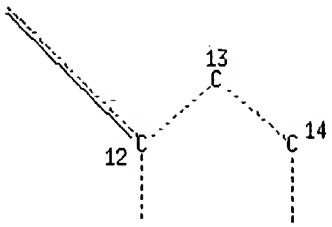
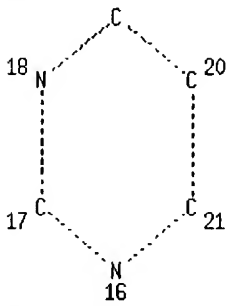



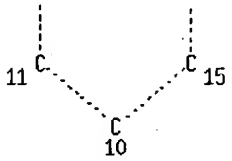
19
Page 1-A



Page 1-B



Page 2-A



Page 2-B
VPA 7-2/3/4/5/6 S
NODE ATTRIBUTES:
NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6

```
NSPEC   IS C      AT   7
NSPEC   IS C      AT   8
NSPEC   IS C      AT   9
NSPEC   IS R      AT  10
NSPEC   IS R      AT  11
NSPEC   IS R      AT  12
NSPEC   IS R      AT  13
NSPEC   IS R      AT  14
NSPEC   IS R      AT  15
NSPEC   IS R      AT  16
NSPEC   IS R      AT  17
NSPEC   IS R      AT  18
NSPEC   IS R      AT  19
NSPEC   IS R      AT  20
NSPEC   IS R      AT  21
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT   7  8  9
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE


=> s l8
SAMPLE SEARCH INITIATED 11:03:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    531 TO ITERATE

100.0% PROCESSED     531 ITERATIONS                          12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         9238 TO    12002
PROJECTED ANSWERS:              33 TO      447

L9           12 SEA SSS SAM L8

=> s l8 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:03:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  10760 TO ITERATE

100.0% PROCESSED   10760 ITERATIONS                        248 ANSWERS
SEARCH TIME: 00.00.01

L10          248 SEA SSS FUL L8

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                             155.84     327.34

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -0.69
```

```
FILE 'HCAPLUS' ENTERED AT 11:03:47 ON 09 JUN 2004
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FILE COVERS 1907 - 9 Jun 2004  VOL 140 ISS 24
FILE LAST UPDATED: 8 Jun 2004  (20040608/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l10
L11         42 L10

=> file reg
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                               2.36     329.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -0.69

FILE 'REGISTRY' ENTERED AT 11:03:57 ON 09 JUN 2004
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STRUCTURE FILE UPDATES:     7 JUN 2004  HIGHEST RN 690625-61-7
DICTIONARY FILE UPDATES:    7 JUN 2004  HIGHEST RN 690625-61-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L12      STRUCTURE UPLOADED
```
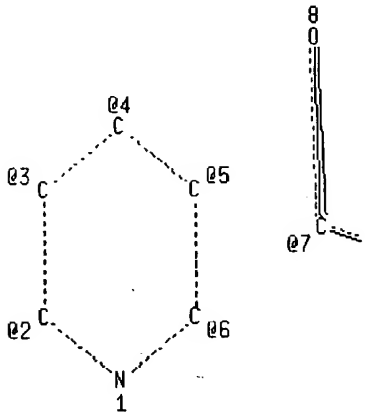
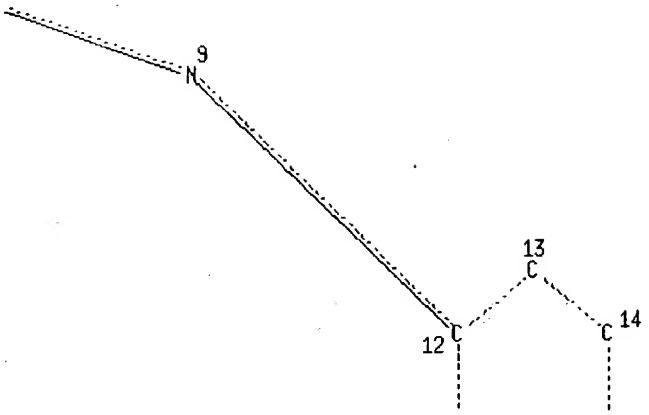

```
=> d l12
L12 HAS NO ANSWERS
L12                STR
```

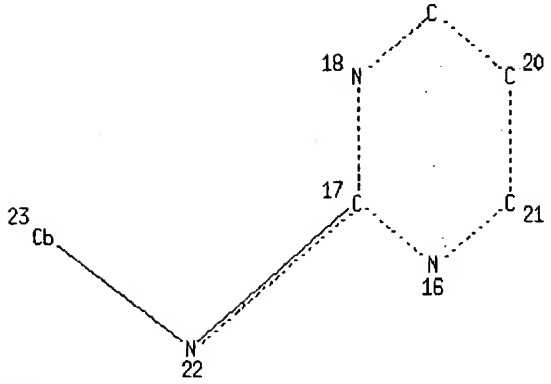


19

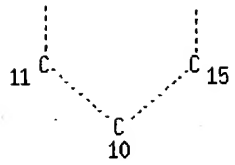
Page 1-A



Page 1-B



Page 2-A

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Page 2-B
VPA 7-2/3/4/5/6 S
NODE ATTRIBUTES:
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NSPEC   IS R      AT   2
NSPEC   IS R      AT   3
NSPEC   IS R      AT   4
NSPEC   IS R      AT   5
NSPEC   IS R      AT   6
NSPEC   IS C      AT   7
NSPEC   IS C      AT   8
NSPEC   IS C      AT   9
NSPEC   IS R      AT  10
NSPEC   IS R      AT  11
NSPEC   IS R      AT  12
NSPEC   IS R      AT  13
NSPEC   IS R      AT  14
NSPEC   IS R      AT  15
NSPEC   IS R      AT  16
NSPEC   IS R      AT  17
NSPEC   IS R      AT  18
NSPEC   IS R      AT  19
NSPEC   IS R      AT  20
NSPEC   IS R      AT  21
NSPEC   IS C      AT  22
NSPEC   IS C      AT  23
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT   7  8  9 22
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  23

STEREO ATTRIBUTES: NONE


=> s l12
SAMPLE SEARCH INITIATED 11:04:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    322 TO ITERATE

100.0% PROCESSED     322 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5364 TO     7516
PROJECTED ANSWERS:               0 TO        0

L13           0 SEA SSS SAM L12

=> s l12 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
```

```
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:04:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    6585 TO ITERATE

100.0% PROCESSED     6585 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

L14             1 SEA SSS FUL L12

=>
L15      STRUCTURE UPLOADED

=> s l15
SAMPLE SEARCH INITIATED 11:05:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     322 TO ITERATE

100.0% PROCESSED      322 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          5364 TO      7516
PROJECTED ANSWERS:                5 TO       234

L16             5 SEA SSS SAM L15

=> s l15 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:05:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    6585 TO ITERATE

100.0% PROCESSED     6585 ITERATIONS                              100 ANSWERS
SEARCH TIME: 00.00.01

L17           100 SEA SSS FUL L15

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    311.26     640.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                      0.00      -0.69

FILE 'HCAPLUS' ENTERED AT 11:05:32 ON 09 JUN 2004
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FILE COVERS 1907 - 9 Jun 2004 VOL 140 ISS 24
FILE LAST UPDATED: 8 Jun 2004 (20040608/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l17
L18          23 L17

=> s l18 and schelberger, k?/au
           123 SCHELBERGER, K?/AU
L19          0 L18 AND SCHELBERGER, K?/AU

=> s l18 and scherer, m?/au
           304 SCHERER, M?/AU
L20          0 L18 AND SCHERER, M?/AU

=> s l18 and eicken, k?/au
           171 EICKEN, K?/AU
L21          0 L18 AND EICKEN, K?/AU

=> s l18 and hampel, m?/au
           116 HAMPEL, M?/AU
L22          0 L18 AND HAMPEL, M?/AU

=> s l18 and ammermann, e?/au
           579 AMMERMANN, E?/AU
L23          0 L18 AND AMMERMANN, E?/AU

=> s l18 and lorenz, g?/au
           608 LORENZ, G?/AU
L24          0 L18 AND LORENZ, G?/AU

=> s l18 and strathmann, s?/au
           242 STRATHMANN, S?/AU
L25          0 L18 AND STRATHMANN, S?/AU

=> d l18, ibib abs fhitstr, 1-23

L18  ANSWER 1 OF 23  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

ACCESSION NUMBER: 2003:950057 HCAPLUS
DOCUMENT NUMBER: 140:16647
TITLE: Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases
INVENTOR(S): Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S. Ser. No. 46,681.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003225106	A1	20031204	US 2002-197974	20020717
US 2003125339	A1	20030703	US 2002-46681	20020110
WO 2004007458	A1	20040122	WO 2003-US22417	20030715

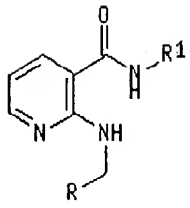
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-261339P	P	20010112
US 2001-323764P	P	20010919
US 2002-46681	A2	20020110
US 2002-197974	A	20020717

OTHER SOURCE(S): MARPAT 140:16647
GI



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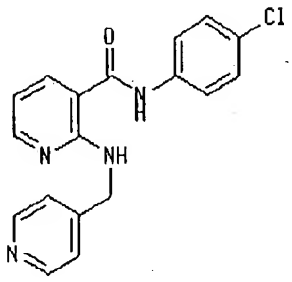


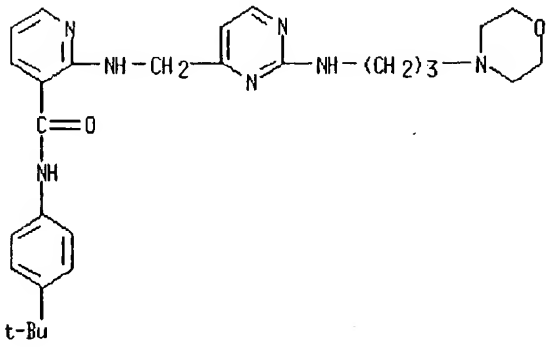
II

AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like, were prepd. Thus, the title compd. II was prepd. from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 μM. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical compn. comprising the compd. I is claimed.

IT 453563-67-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-aminopyridine-3-carboxamides for treating angiogenesis mediated diseases)

RN 453563-67-2 HCAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[[[2-[[3-(4-

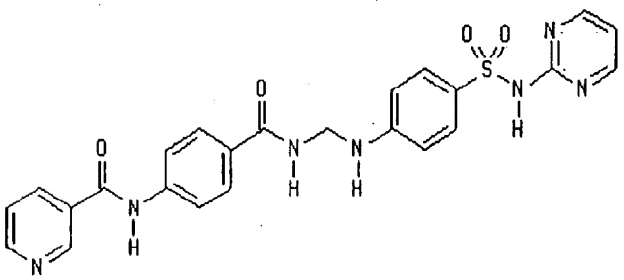
morpholinyl)propyl]amino]-4-pyrimidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



L18 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:795094 HCAPLUS
DOCUMENT NUMBER: 140:42006
TITLE: QSAR study on antibacterial activity of sulfonamides and derived Mannich bases
AUTHOR(S): Joshi, Sheela; Khosla, Navita
CORPORATE SOURCE: Takshila campus, Devi Ahilya Vishwavidyalaya, School of Chemical Sciences, Khandwa Road, (M.P.), Indore, India
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(21), 3747-3751
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

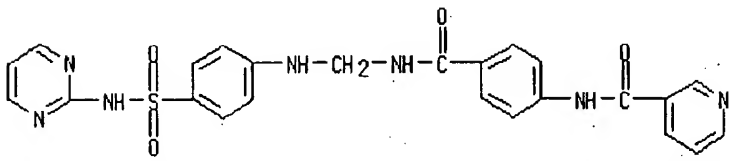
AB Synthesis and comparative study on antibacterial activities of sulfonamides and their corresponding Mannich bases, e.g., I, are reported. The compds. were screened for their antibacterial activity against various gram-pos. and gram-neg. bacteria and were analyzed statistically. The results showed that the compds. were active against pathogens and they were nontoxic.

IT 635292-58-9P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., antibacterial activity, toxicity, and structure-activity

relationship of N-nicotinoylaminobenzamidomethyl sulfonamide via imidation of N-nicotinoylaminobenzamide followed by addn. of aminobenzenesulfonamides)

RN 635292-58-9 HCAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[[[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:551338 HCAPLUS

DOCUMENT NUMBER: 139:111702

TITLE: Compositions and methods using ATP-dependent γ-secretase modulators for prevention and treatment of amyloid-β peptide-related disorders, and screening methods for modulators of Aβ

INVENTOR(S): Netzer, William J.; Greengard, Paul; Xu, Huaxi

PATENT ASSIGNEE(S): The Rockefeller University, USA

SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057165	A2	20030717	WO 2003-US249	20030106
WO 2003057165	A3	20031113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004028673	A1	20040212	US 2003-337261	20030106

PRIORITY APPLN. INFO.: US 2002-345009P P 20020104

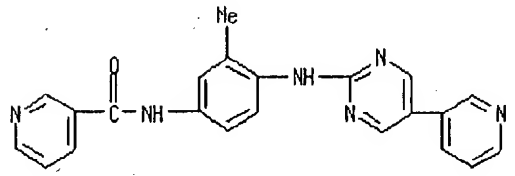
OTHER SOURCE(S): MARPAT 139:111702

AB The invention provides methods and compns. for modulating levels of amyloid-β peptide (Aβ) exhibited by cells or tissues. The invention also provides pharmaceutical compns. and methods of screening for compds. that modulate Aβ levels. The invention also provides modulation of Aβ levels via selective modulation (e.g., inhibition) of ATP-dependent γ-secretase activity. The invention also provides

methods of preventing, treating or ameliorating the symptoms of a disorder, including but not limited to an Aβ-related disorder, by administering a modulator of γ-secretase, including, but not limited to, a selective inhibitor of ATP-dependent γ-secretase activity or an agent that decreases the formation of active (or optimally active) γ-secretase. The invention also provides the use of inhibitors of ATP-dependent γ-secretase activity to prevent, treat or ameliorate the symptoms of Alzheimer's disease.

IT 560070-07-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ATP-dependent enzyme modulators for prevention and treatment of amyloid-β peptide-related disorders, and screening methods for modulators of Aβ)

RN 560070-07-7 HCAPLUS
CN 3-Pyridinecarboxamide, N-[3-methyl-4-[[5-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:409452 HCAPLUS
DOCUMENT NUMBER: 139:226295
TITLE: Two distinct phosphorylation pathways have additive effects on Abl family kinase activation
AUTHOR(S): Tanis, Keith Q.; Veach, Darren; Duewel, Henry S.; Bornmann, William G.; Koleske, Anthony J.
CORPORATE SOURCE: Department of Molecular Biophysics and Biochemistry, Yale University, New Haven, CT, 06520, USA
SOURCE: Molecular and Cellular Biology (2003), 23(11), 3884-3896
CODEN: MCEBD4; ISSN: 0270-7306
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

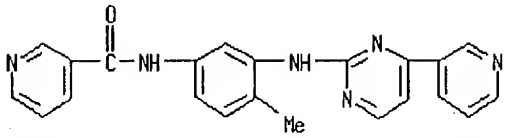
AB The activities of the related Abl and Arg nonreceptor tyrosine kinases are kept under tight control in cells, but exposure to several different stimuli results in a two- to fivefold stimulation of kinase activity. Following the breakdown of inhibitory intramol. interactions, Abl activation requires phosphorylation on several tyrosine residues, including a tyrosine in its activation loop. These activating phosphorylations have been proposed to occur either through autophosphorylation by Abl in trans or through phosphorylation of Abl by the Src nonreceptor tyrosine kinase. The authors show here that these two pathways mediate phosphorylation at distinct sites in Abl and Arg and have additive effects on Abl and Arg kinase activation. Abl and Arg autophosphorylate at several sites outside the activation loop, leading to 5.2- and 6.2-fold increases in kinase activity, resp. The authors also find that the Src family kinase Hck phosphorylates the Abl and Arg activation loops, leading to an addnl. twofold stimulation of kinase activity. The autoactivation pathway may allow Abl family kinases to

integrate or amplify cues relayed by Src family kinases from cell surface receptors.

IT 309760-28-9, WGB-BC 15
RL: BSU (Biological study, unclassified); NUU (Other use, unclassified); BIOL (Biological study); USES (Uses)
(inhibitor; drug sensitivities of Abl and Arg kinases)

RN 309760-28-9 HCAPLUS

CN 3-Pyridinecarboxamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:319721 HCAPLUS
DOCUMENT NUMBER: 138:321292
TITLE: Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent kinase inhibitors
INVENTOR(S): Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander; Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier, Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032997	A1	20030424	WO 2002-EP11453	20021014

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003171359	A1	20030911	US 2002-271763	20021016

PRIORITY APPLN. INFO.: US 2001-330145P P 20011017
OTHER SOURCE(S): MARPAT 138:321292
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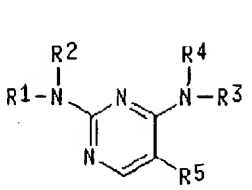

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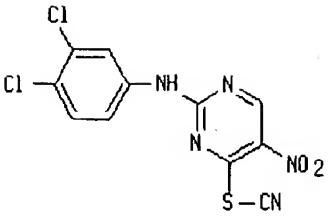

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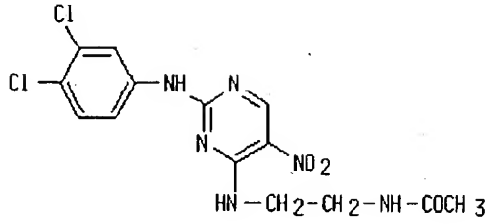


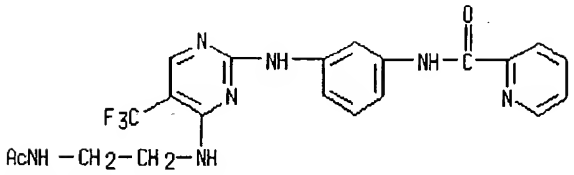
III

AB Title compds. I [R1 = H, alkyl; R2 = (un)substituted alkyl; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepd. For example, condensation of thiocyanatopyrimide II, e.g., prepd. from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88% yield. In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for the treatment of diseases characterized by abnormal cell proliferation.

IT 514841-51-1P, Pyridine-2-carboxylic acid [3-[4-(2-acetylaminoethylamino)-5-trifluoromethylpyrimidin-2-ylamino]phenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

RN 514841-51-1 HCAPLUS

CN 2-Pyridinecarboxamide, N-[3-[[4-[[2-(acetylamino)ethyl]amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:889028 HCAPLUS
DOCUMENT NUMBER: 137:379974
TITLE: Pyridylpyrimidine derivatives as effective compounds against prion diseases
INVENTOR(S): Stein-Gerlach, Matthias; Salassidis, Konstadinos;

Bacher, Gerald; Mueller, Stefan
PATENT ASSIGNEE(S): Axxima Pharmaceuticals A.-G., Germany
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002093164	A2	20021121	WO 2002-EP5420	20020516
WO 2002093164	A3	20030904		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1395261	A2	20040310	EP 2002-769490	20020516

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003176443	A1	20030918	US 2002-204041	20020816

PRIORITY APPLN. INFO.:

EP 2001-111858	A	20010516
US 2001-293528P	P	20010529
EP 2001-117113	A	20010713
US 2001-305898P	P	20010718
WO 2002-EP5420	W	20020516

OTHER SOURCE(S): MARPAT 137:379974
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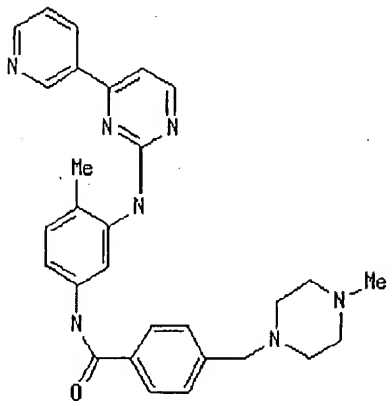


I

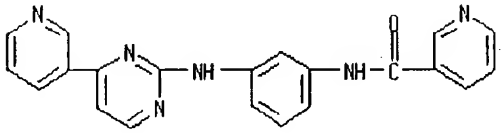
AB The present invention relates to pyridylpyrimidine derivs. of the general formula (I) : wherein R represents hydrogen or Me and Z represents nitrogen contg. functional groups, the use of the pyridylpyrimidine derivs. as pharmaceutically active agents, esp. for the prophylaxis and/or treatment of prion infections and prion diseases, as well as compns. contg. at least one pyridylpyrimidine deriv. and/or pharmaceutically

acceptable salt thereof. Furthermore, the present invention is directed to methods for preventing and/or treating prion infections and prion diseases using said pyridylpyrimidine derivs. Human cellular protein kinases, phosphatases and cellular signal transduction mols. are disclosed as targets for detecting, preventing and/or treating prion infections and diseases, esp. BSE, vCJD, or CJD, which can be inhibited by the inventive pyridylpyrimidine derivs.

IT 152459-79-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyridylpyrimidine derivs. as effective compds. against prion diseases)

RN 152459-79-5 HCAPLUS

CN 3-Pyridinecarboxamide, N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-(9CI) (CA INDEX NAME)



L18 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:658116 HCAPLUS
DOCUMENT NUMBER: 137:201332
TITLE: Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases
INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 502 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066470	A1	20020829	WO 2002-US743	20020111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125339	A1	20030703	US 2002-46681	20020110
BR 2002006435	A	20030923	BR 2002-6435	20020111
EP 1358184	A1	20031105	EP 2002-717325	20020111

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EE 200300324	A	20031215	EE 2003-324		20020111
NO 2003003181	A	20030911	NO 2003-3181		20030711
PRIORITY APPLN. INFO.:			US 2001-261339P	P	20010112
			US 2001-323764P	P	20010919
			US 2002-46681	A	20020110
			WO 2002-US743	W	20020111

OTHER SOURCE(S): MARPAT 137:201332

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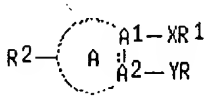

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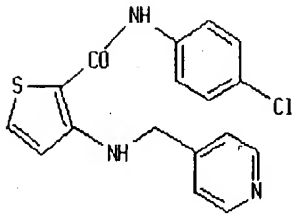


II

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered partially satd. heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially satd. heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepd. and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compd. II was prepd. from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

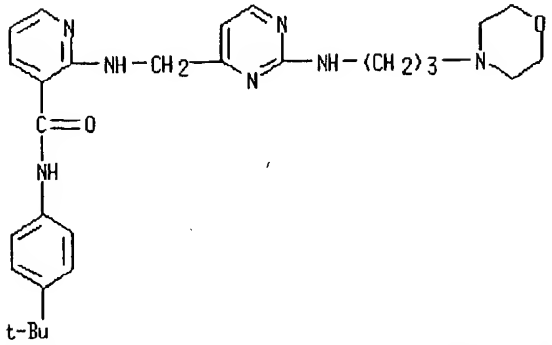
IT 453563-67-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

RN 453563-67-2 HCAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[[[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

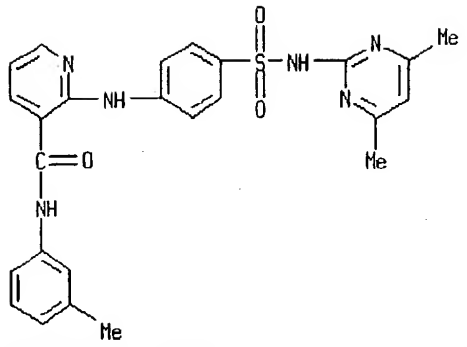
Full Text | Citing References

ACCESSION NUMBER: 2002:628768 HCAPLUS
DOCUMENT NUMBER: 138:130777
TITLE: Synthesis and study of antimicrobial and antiinflammatory activity of 2-substituted nicotinic acid amines
AUTHOR(S): Pavlova, M. V.; Mikhalev, A. I.; Kon'shin, M. E.; Vasil'eva, M. Yu.; Mardanova, L. G.; Odegova, T. F.; Vakhrin, M. I.
CORPORATE SOURCE: State Pharmaceutical Academy, Perm, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2001), 35(12), 664-666
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Kluwer Academic/Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The compds. 2-(4-sulfamylanilino)nicotinic acid amides were synthesized by heating 2-chloronicotinic acid amides with p-aminosulfanylamides in 50% acetic acid. The desired 2-aryloxynicotinic acid amides were prepd. via interaction of 2-chloronicotinic acid amides with phenols in DMF in the presence of anhyd. potassium carbonate. The antimicrobial and antiinflammatory activity of these synthesized compds. were evaluated. The antiinflammatory effect of these compds. was only slightly lower compared to that or ortophen, and some of the compds. also displayed a weak antimicrobial effect.

IT 491832-87-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antimicrobial and antiinflammatory activity of 2-substituted nicotinic acid amines)

RN 491832-87-2 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

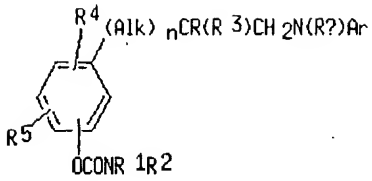
L18 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:90040 HCAPLUS
DOCUMENT NUMBER: 136:135022
TITLE: Preparation of heteroaryl-β-alanine derivatives as antiinflammatory agents and α4 integrin inhibitors
INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Semko, Christopher; Xu, Ying-Zi
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008222	A2	20020131	WO 2001-US23096	20010720
WO 2002008222	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002086882	A1	20020704	US 2001-910431	20010719

PRIORITY APPLN. INFO.: US 2000-220128P P 20000721
OTHER SOURCE(S): MARPAT 136:135022
GI



I

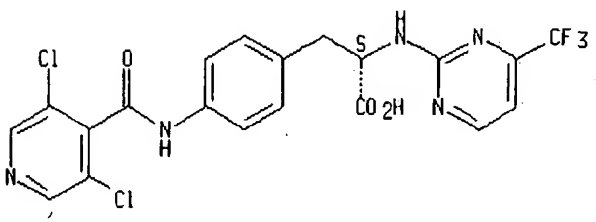
AB Disclosed are a series of heteroaryl-β-alanine derivs. I wherein R is a carboxylic acid; R1 and R2 are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R1 and R2, together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; Ra and R3 are independently a hydrogen or a Me group; R4 and R5 are independently selected from the group consisting of heteroatom group; n is zero or an integer 1; Alk is a straight or branched alkylene chain; Ar is an optionally substituted arom. or heteroarom. group, as well as their pharmaceutical use as α4β7 Integrin inhibitors for the treatment of inflammatory diseases. Thus, 3-[4-(3,5-dichloropyrid-4-ylcarboxamido)phenyl]-2-(3-chlorophenylamino)propanoic acid was prepd. as α4 Integrin inhibitor. The preferred compds. of the invention generally have IC50 values in the α4β1 and αaβ7 assays of 1 μM and below. In the other assays featuring α integrins of other subgroups the same compds. had IC50 values of 50 μM and above thus demonstrating the potency and selectivity of their action against α4 integrins. Title compds. were prepd. for treating an inflammatory condition in a mammalian patient which condition is mediated by Very Late Antigen 4 (VLA-4). Inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

IT 263274-54-0P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroaryl-β-alanine derivs. as antiinflammatory agents and α4 integrin inhibitors)

RN 263274-54-0 HCAPLUS

CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[4-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



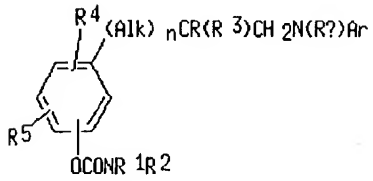
L18 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:90026 HCAPLUS
DOCUMENT NUMBER: 136:135019
TITLE: Preparation of 3-amino-2-(4-aminocarbonyloxy)phenyl-propionic acid derivatives as antiinflammatory agents and α4 Integrin inhibitors
INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Xu, Ying-Zi
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008206	A1	20020131	WO 2001-US23073	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002055509	A1	20020509	US 2001-910685	20010720
US 6689781	B2	20040210		

PRIORITY APPLN. INFO.: US 2000-220134P P 20000721
OTHER SOURCE(S): MARPAT 136:135019
GI



I

AB 3-Amino-2-(4-aminocarbonyloxy)phenyl-propionic acid derivs. I wherein R is a carboxylic acid; R1 and R2 are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R1 and R2, together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; Ra and R3 are independently a hydrogen or a Me group; R4 and R5 are independently selected from the group consisting of heteroatom group; n is zero or an integer 1; Alk is a straight or branched alkylene chain; Ar is an optionally substituted arom. or heteroarom. group, as well as their pharmaceutical use as α4β7 Integrin inhibitors for the

treatment of inflammatory diseases. Thus, 3-[4-(3,5-dichloropyrid-4-ylcarboxamido)phenyl]-2-(3-chlorophenylamino)propanoic acid was prepd. as α4 Integrin inhibitor. The preferred compds. of the invention generally have IC50 values in the α4β1 and αaβ7 assays of 1 μM and below. In the other assays featuring α integrins of other subgroups the same compds. had IC50 values of 50 μM and above thus demonstrating the potency and selectivity of their action against α4 integrins. Title compds. were prepd. for treating an inflammatory condition in a mammalian patient which condition is mediated by Very Late Antigen 4 (VLA-4). Inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

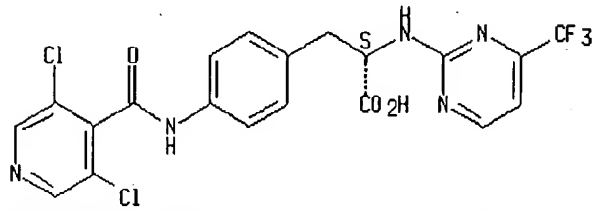
IT 263274-54-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoaminocarbonyloxyphenylpropionic acid derivs. as a integrin inhibitors)

RN 263274-54-0 HCAPLUS

CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[4-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:283933 HCAPLUS
DOCUMENT NUMBER: 134:295834
TITLE: Preparation of 4-anilinopyrimidines as p38 kinase inhibitors
INVENTOR(S): Cumming, John Graham
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027089	A1	20010419	WO 2000-GB3929	20001010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

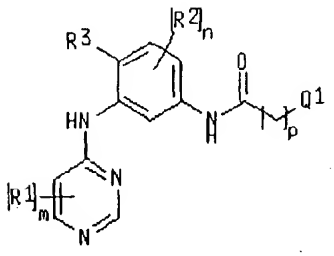
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000014596	A	20020611	BR 2000-14596	20001010
EP 1226126	A1	20020731	EP 2000-968084	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511442	T2	20030325	JP 2001-530109	20001010
NZ 517572	A	20031128	NZ 2000-517572	20001010
AU 772293	B2	20040422	AU 2000-78042	20001010
ZA 2002001557	A	20030526	ZA 2002-1557	20020225
NO 2002001728	A	20020612	NO 2002-1728	20020412

PRIORITY APPLN. INFO.: GB 1999-24092 A 19991013
WO 2000-GB3929 W 20001010

OTHER SOURCE(S): MARPAT 134:295834

GI



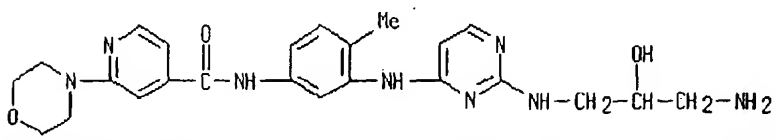
I

AB The title compds. [I; m = 0-3; R1 = OH, halo, CF3, CN; R3 = H, halo, alkyl; n = 0-2; R2 = OH, halo, CF3, CN; p = 0-4; Q1 = aryl, heteroaryl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of I [R1 = 2-Cl,6-(H2NCO); R2 = H; R3 = Me; p = 0; Q1 = 3-fluoro-5-morpholinophenyl] which showed IC50 of 0.03 μM against p38α and IC50 of 16 μM in the Human Whole Blood test, was given.

IT 334893-52-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 4-anilinopyrimidines as p38 kinase inhibitors)

RN 334893-52-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-[3-[[2-[(3-amino-2-hydroxypropyl)amino]-4-pyrimidinyl]amino]-4-methylphenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

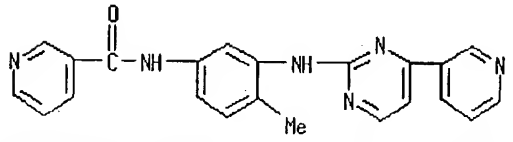
Full Text | Citing References

ACCESSION NUMBER: 2000:662669 HCAPLUS
DOCUMENT NUMBER: 134:14693
TITLE: Structural mechanism for STI-571 inhibition of Abelson tyrosine kinase
AUTHOR(S): Schindler, Thomas; Bornmann, William; Pellicena, Patricia; Miller, W. Todd; Clarkson, Bayard; Kuriyan, John
CORPORATE SOURCE: Laboratories of Molecular Biophysics, The Rockefeller University, New York, NY, 10021, USA
SOURCE: Science (Washington, D. C.) (2000), 289(5486), 1938-1942
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The inadvertent activation of the Abelson tyrosine kinase (Abl) causes chronic myelogenous leukemia (CML). A small-mol. inhibitor of Abl (STI-571) is effective in the treatment of CML. We report the crystal structure of the catalytic domain of Abl, complexed to a variant of STI-571. Crit. to the binding of STI-571 is the adoption by the kinase of an inactive conformation, in which a centrally located "activation loop" is not phosphorylated. The conformation of this loop is distinct from that in active protein kinases, as well as in the inactive form of the closely related Src kinases. These results suggest that compds. that exploit the distinctive inactivation mechanisms of individual protein kinases can achieve both high affinity and high specificity.

IT 309760-28-9D, complexes with Abelson tyrosine kinase
RL: PRP (Properties)
(crystal structure of Abelson tyrosine kinase complex with STI-571 variant shows Tyr393 in kinase activation loop is not phosphorylated)

RN 309760-28-9 HCAPLUS
CN 3-Pyridinecarboxamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

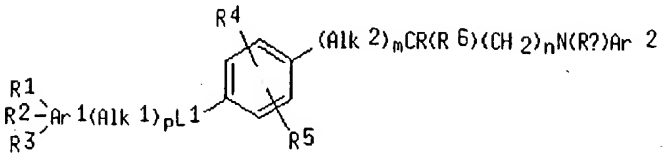
ACCESSION NUMBER: 2000:227650 HCAPLUS
DOCUMENT NUMBER: 132:265501
TITLE: Phenylalanine derivatives as alpha 4 integrin inhibitors
INVENTOR(S): Head, John Clifford; Porter, John Robert; Warrellow, Graham John; Archibald, Sarah Catherine; Hutchinson, Brian Woodside
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

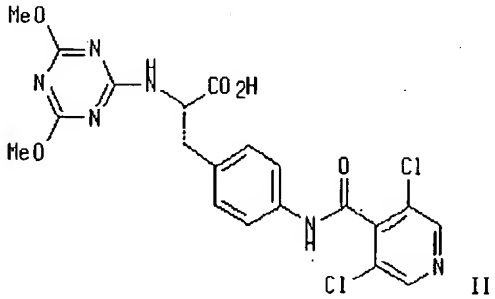
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018759	A1	20000406	WO 1999-GB3210	19990928
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6348463	B1	20020219	US 1999-406560	19990927
CA 2338442	AA	20000406	CA 1999-2338442	19990928
AU 9961059	A1	20000417	AU 1999-61059	19990928
EP 1117657	A1	20010725	EP 1999-947680	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525367	T2	20020813	JP 2000-572219	19990928
US 2002028812	A1	20020307	US 2001-927874	20010810
US 6677339	B2	20040113		

PRIORITY APPLN. INFO.: GB 1998-21061 A 19980928
US 1999-406560 A3 19990927
WO 1999-GB3210 W 19990928

OTHER SOURCE(S): MARPAT 132:265501
GI



I



II

AB Phenylalanine derivs. I [Ar1 = arom. or heteroarom. group; Alk1 = (un)substituted aliph. or heteroaliph. chain; L1, L2, L3 = a covalent bond or a linker atom or group; Alk2 = alkylene; R is a carboxylic acid or deriv.; Ar2 = (un)substituted arom. or heteroarom. group; R1, R2, R3, R4, R5 = -L2(Alk3)tL3(R7)u; Alk3 = aliph. or heteroaliph. chain; R6, Ra = H, Me; R7 = H, halo, alkyl, OH, SH, NH2, (un)substituted alkoxy, thioalkyl, or aminoalkyl; m, n, p, t = 0, 1; u = 1-3] and their salts, solvates,

hydrates, and N-oxides were prepd. as selective inhibitors of α4 integrins useful for the prophylaxis and treatment of immune or inflammatory disorders. For example, a multi-step synthesis of the title compd. II was given. Compds. I were tested for inhibition of integrin-dependent cell adhesion and generally have IC50 values of ≤ 1μM in α4β1 and α4β7 assays, and IC50 values of ≥ 50 μM in assays of other integrins.

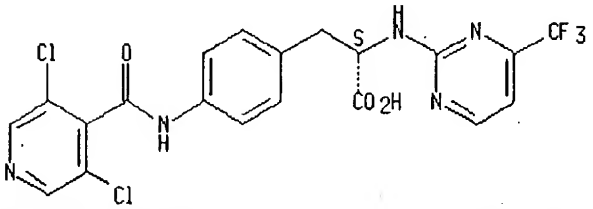
IT 263274-54-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylalanine derivs. as alpha 4 integrin inhibitors)

RN 263274-54-0 HCAPLUS

CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[4-(trifluoromethyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

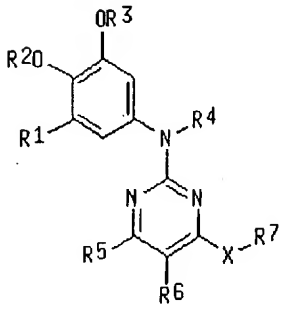
ACCESSION NUMBER: 1997:457074 HCAPLUS
DOCUMENT NUMBER: 127:81461
TITLE: Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors
INVENTOR(S): Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719065	A1	19970529	WO 1996-GB2854	19961120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5958935	A	19990928	US 1996-753041	19961119

AU 9676314	A1	19970611	AU 1996-76314		19961120
EP 862560	A1	19980909	EP 1996-939171		19961120
EP 862560	B1	20030402			
R: CH, DE, ES, FR, GB, IT, LI					
ES 2195020	T3	20031201	ES 1996-939171		19961120
US 6235746	B1	20010522	US 1999-249760		19990216
PRIORITY APPLN. INFO.:			GB 1995-23675	A	19951120
			US 1996-753041	A3	19961119
			WO 1996-GB2854	W	19961120

OTHER SOURCE(S): MARPAT 127:81461

GI



I

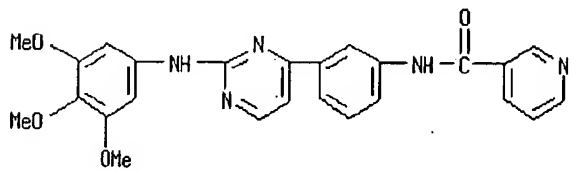
AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliph., cycloaliph., heteroaliph., heterocycloaliph., arom. or heteroarom. group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepd. Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]-N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N2H4.H2O in EtOH afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O] which showed IC50 of 22 nM in the protein kinase assay.

IT 191727-68-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 2-anilinopyrimidines as protein kinase inhibitors)

RN 191727-68-1 HCAPLUS

CN 3-Pyridinecarboxamide, N-[3-[2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)



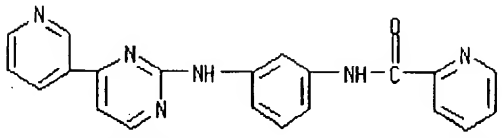
L18 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1997:123312 HCAPLUS
DOCUMENT NUMBER: 126:220297
TITLE: Potent and selective inhibitors of the ABL-kinase: phenylaminopyrimidine (PAP) derivatives
AUTHOR(S): Zimmermann, Jurg; Buchdunger, Elisabeth; Mett, Helmut; Meyer, Thomas; Lydon, Nicholas B.
CORPORATE SOURCE: Ciba Pharmaceuticals Division, Oncology Research Department, Ciba-Geigy Limited, Basel, CH-4002, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(2), 187-192
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Due to its relatively clear etiol., chronic myelogenous leukemia (CML) represents an ideal disease target for a therapy using a selective inhibitor of the Bcr-Abl tyrosine protein kinase. Extensive optimization of the class of phenylamino-pyrimidines yielded highly potent and selective Bcr-Abl kinase inhibitors.

IT 152459-78-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylaminopyrimidine derivs. as inhibitors of ABL-kinase)
RN 152459-78-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

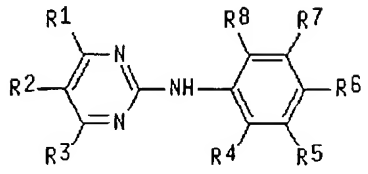
L18 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1996:380210 HCAPLUS
DOCUMENT NUMBER: 125:114681
TITLE: Pyrimidine derivatives and processes for the preparation thereof
INVENTOR(S): Zimmermann, Juerg
PATENT ASSIGNEE(S): Ciba-Geigy Corporation, USA
SOURCE: U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 42,322, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5521184	A	19960528	US 1994-234889	19940428
CA 2148477	AA	19950413	CA 1994-2148477	19940921

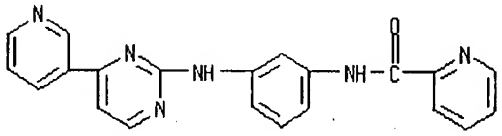
PRIORITY APPLN. INFO.: CH 1992-1083 A 19920403
US 1993-42322 B2 19930402
CH 1993-2966 A 19931001
OTHER SOURCE(S): MARPAT 125:114681
GI



I

AB There are described N-phenyl-2-pyrimidine-amine derivs. (I) wherein R1 is 4-pyrazinyl, 1-methyl-1H-pyrrolyl, amino- or amino-lower alkyl-substituted Ph wherein the amino group in each case is free, alkylated or acylated, 1H-indolyl or 1H-imidazolyl bonded at a five-membered ring carbon atom, or unsubstituted or lower alkyl-substituted pyridyl bonded at a ring carbon atom and unsubstituted or substituted at the nitrogen atom by oxygen; R2 and R3 are hydrogen or lower alkyl; one or two of R4, R5, R6, R7 are each nitro, fluoro-substituted lower alkoxy or -N(R9)C(:X)(Y)nR10. These compds. can be used, for example, in the therapy of tumoral diseases. Three example formulations are given.

IT 152459-78-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylaminopyrimidine derivs. as antitumor agents)
RN 152459-78-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-(9CI) (CA INDEX NAME)



L18 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1995:986264 HCAPLUS
DOCUMENT NUMBER: 124:109609
TITLE: Synthesis and herbicidal activity of sulfonylureas; SL-950 and its related compounds
AUTHOR(S): Murai, Shigeo; Haga, Takahiro; Sakashita, Nobuyuki; Nakamura, Yuji; Honda, Chimoto; Honzawa, Shooichi; Kimura, Fumio; Tsujii, Yasuhiro; Nishiyama, Ryuzo
CORPORATE SOURCE: Cent. Res. Inst., Ishihara Sangyo Kaisha, Ltd., Kusatsu, 525, Japan
SOURCE: Nippon Noyaku Gakkaishi (1995), 20(4), 453-62
CODEN: NNGADV; ISSN: 0385-1559
DOCUMENT TYPE: Journal
LANGUAGE: English

AB As a results of years of studies on pyridylsulfonylureas, novel compds. bearing substituted carbamoyl moiety on the 3-position of the pyridine ring were quite safe for corn (Zea mays). After studying the structure-activity relationships of substituents on the carbamoyl moiety

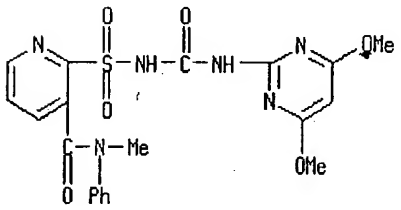
and the heterocycles attached to the urea bridge, 2-(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-N,N-dimethylnicotinamide, SL-950 (nicosulfuron) was the most effective against both grass weeds including perennial species and broad leaves at 40-80 g a.e./ha. SL-950 is now under development by Ishihara Sangyo Kaisha, Ltd. Four novel routes to the syntheses of the key intermediates, 2-sulfamoyl-N-substituted nicotinamides, were established.

IT 111990-68-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and herbicidal activity of sulfonylureas, SL-950 and its related compds.)

RN 111990-68-2 HCAPLUS

CN 3-Pyridinecarboxamide, 2-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L18 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

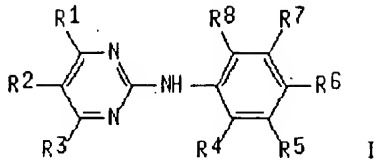
Full Text | Citing References

ACCESSION NUMBER: 1994:107056 HCAPLUS
DOCUMENT NUMBER: 120:107056
TITLE: Preparation of 2-anilinopyrimidines as antiatherosclerotics and neoplasm inhibitors
INVENTOR(S): Zimmermann, Juerg
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 564409	A1	19931006	EP 1993-810219	19930325
EP 564409	B1	20000119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 188964	E	20000215	AT 1993-810219	19930325
ES 2142857	T3	20000501	ES 1993-810219	19930325
PT 564409	T	20000630	PT 1993-810219	19930325
CA 2093203	AA	19931004	CA 1993-2093203	19930401
CA 2093203	C	20021126		
CZ 283944	B6	19980715	CZ 1993-560	19930401
RU 2125992	C1	19990210	RU 1993-5357	19930401
IL 105264	A1	19990411	IL 1993-105264	19930401
SK 280620	B6	20000516	SK 1993-280	19930401
NO 9301283	A	19931004	NO 1993-1283	19930402
ZA 9302397	A	19931004	ZA 1993-2397	19930402

AU 9335694	A1	19931007	AU 1993-35694	19930402
AU 666709	B2	19960222		
CN 1077713	A	19931027	CN 1993-103566	19930402
CN 1043531	B	19990602		
HU 64050	A2	19931129	HU 1993-982	19930402
JP 06087834	A2	19940329	JP 1993-78096	19930405
JP 2706682	B2	19980128		
GR 3032927	T3	20000731	GR 2000-400623	20000310

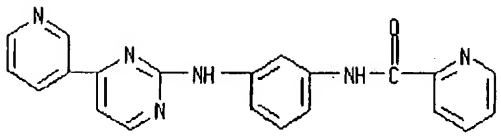
PRIORITY APPLN. INFO.: CH 1992-1083 A 19920403
OTHER SOURCE(S): MARPAT 120:107056
GI



I

AB Title compds. [I; R1 = pyridyl, 4-pyrazinyl, (acyl)aminophenyl, etc.; R2, R3 = H, alkyl; 1 or 2 of R4-R8 = NO2, fluoroalkoxy, NR9C(:X)YnR10 and the others = H, alkyl, alkanoyl, CF3, etc.; R9 = H, alkyl; R10 = (cyclo)aliph. group, heterocyclyl, aryl, etc.; X = O, S, NH, etc.; Y = O or NH; n = 0 or 1] were prepd. Thus, 3-(O2N)C6H4NHC(:NH)NH2 [prepn. from 3-(O2N)C6H4NH2 given] was cyclocondensed with R1COCH:CHNMe2 (R1 = 3-pyridyl) (prepn. from 3-acetylpyridine given) to give I (R1 = 3-pyridyl, R2 = R3 = R5-R8 = H, R4 = NO2). I had IC50 of ~0.5 to 5 μM against protein kinase C in vitro.

IT **152459-78-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiatherosclerotic and neoplasm inhibitor)
RN 152459-78-4 HCAPLUS
CN 2-Pyridinecarboxamide, N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-(9CI) (CA INDEX NAME)



L18 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1993:2388 HCAPLUS
DOCUMENT NUMBER: 118:2388
TITLE: Synthesis and quantitative structure-activity relationships of pyridylsulfonylurea herbicides
AUTHOR(S): Murai, S.; Nakamura, Y.; Akagi, T.; Sakashita, N.; Haga, T.
CORPORATE SOURCE: Cent. Res. Inst., Ishihara Sangyo Kaisha, Ltd., Kusatsu, 525, Japan
SOURCE: ACS Symposium Series (1992), 504(Synth. Chem. Agrochem. III), 43-55
CODEN: ACSMC8; ISSN: 0097-6156
DOCUMENT TYPE: Journal

LANGUAGE: English

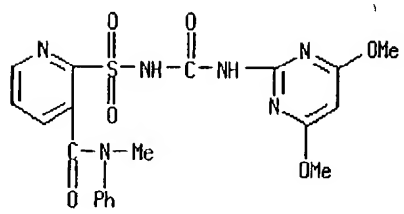
AB SL-950 (Nicosulfuron, ISO proposed) is a postemergence application herbicide for corn which has a novel type of pyridylsulfonylurea structure. The analogs of SL-950 were synthesized, and their quant. structure activity relationship analyses was carried out to understand the drug-receptor interaction. The QSAR equations obtained indicates that SL-950 is the most effective compd. among those examd.

IT 111990-68-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and herbicidal activity of, structure in relation to)

RN 111990-68-2 HCAPLUS

CN 3-Pyridinecarboxamide, 2-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L18 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1991:192389 HCAPLUS

DOCUMENT NUMBER: 114:192389

TITLE: Improved delivery through biological membranes. 46. Synthesis, characterization and in vitro evaluation of various sulfonamide chemical delivery systems

AUTHOR(S): Brewster, Marcus E.; Deyrup, Margaret; Seyda, Kazimierz; Bodor, Nicholas

CORPORATE SOURCE: Coll. Pharm., Univ. Florida, Gainesville, FL, 32610, USA

SOURCE: International Journal of Pharmaceutics (1991), 68(1-3), 215-29
CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Dihydropyridine .dblarw. pyridinium salt type chem. delivery systems were prepd. for several sulfonamides found useful in the treatment of cerebral toxoplasmosis. Sulfadiazine, sulfamethoxazole, sulfamerazine, and sulfamethazine were considered and both aniline (N4) and sulfamide (N1) derivatization were performed. The sulfamethoxazole deriv. in which a reduced nicotinamide moiety was attached at the N1 site provided a compd. which rapidly oxidized in various matrixes and was highly lipophilic. In addn., studies in rat brain homogenates illustrated appropriate conversion of the chem. delivery system with ultimate release of the active sulfa drug.

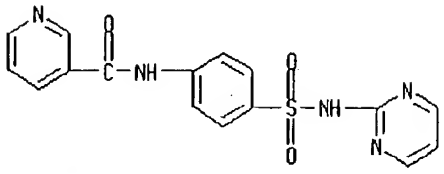
IT 133411-80-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and quaternization of)

RN 133411-80-0 HCAPLUS

CN 3-Pyridinecarboxamide, N-[4-[(2-pyrimidinylamino)sulfonyl]phenyl]- (9CI)

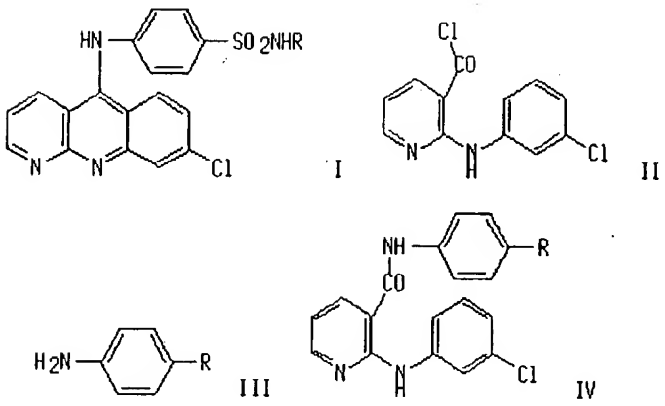
(CA INDEX NAME)



L18 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

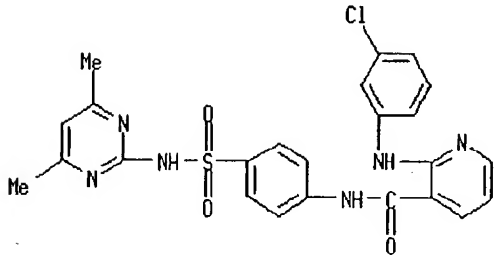
ACCESSION NUMBER: 1990:526025 HCAPLUS
DOCUMENT NUMBER: 113:126025
TITLE: Regioselective synthesis and antitumor activity of 8-chloro-5-(p-N-substituted sulfamoylphenyl)aminobenzo[b][1,8]naphthyridines
AUTHOR(S): Ebeid, Mohamed Y.; Aly, Samir M. El Moghazy; Eissa, Amal A. H.; Osman, Abdel Monem M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1990), 31(1-4), 515-25
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A series of title compds. (I R = H or substituted heterocyclic) were prepd. by condensation of the acid chloride (II) with appropriate sulfanilamides (III); R = H or substituted heterocyclics and cyclization of the resulting compds. (IV, R = H or substituted heterocyclic) with POCl3. Alternatively I were prepd. by reacting sulfanilamides III with 5,8-dichlorobenzo[b][1,8]naphthyridine. Some of I exhibited antitumor activity against Ehrlich ascites tumor in vitro, but none was active against P388 lymphocytic leukemia cell at tested concns. Structure-activity relations are discussed.

IT 127924-02-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

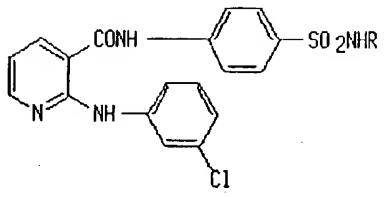
(prepn. and antitumor activity of)
RN 127924-02-1 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[(3-chlorophenyl)amino]-N-[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

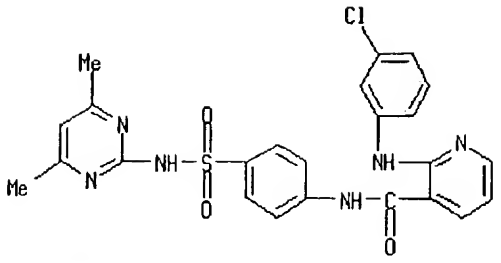
Full Text | Citing References

ACCESSION NUMBER: 1990:434463 HCAPLUS
DOCUMENT NUMBER: 113:34463
TITLE: Synthesis and antiinflammatory activity of some fenamic acid analogs
AUTHOR(S): Ebeid, Mohamed Y.; Aly, Samir M. El Moghazy; Eissa, Amal A. H.; Monem, Moustafa A.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1990), 31(1-4), 495-503
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of N4-[2-(3-chlorophenylamino)nicotinyl]-N'-substituted sulfanilamides (I, R = H, acyl, heterocyclics) were prepd. Their antiinflammatory activities were also evaluated. I (R = 2-pyridinyl) showed antiinflammatory activity comparable to flufenamic acid.
IT 127924-02-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antiinflammatory activity of, as fenamic acid analog)
RN 127924-02-1 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[(3-chlorophenyl)amino]-N-[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1988:21919 HCAPLUS
DOCUMENT NUMBER: 108:21919
TITLE: Preparation of (pyridinylsulfonyl)pyrimidinylureas as herbicides
INVENTOR(S): Kimura, Fumio; Haga, Takahiro; Sakashita, Nobuyuki; Honda, Chimoto; Murai, Shiego
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., USA
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 232067	A2	19870812	EP 1987-300502	19870121
EP 232067	A3	19880330		
EP 232067	B1	19910306		
EP 232067	B2	19940316		
R: AT, CH, DE, ES, FR, GB, IT, LI, NL				
JP 62178588	A2	19870805	JP 1987-8286	19870119
IN 164880	A	19890624	IN 1987-BO15	19870120
ZA 8700436	A	19870930	ZA 1987-436	19870121
AT 61365	E	19910315	AT 1987-300502	19870121
ES 2064517	T3	19950201	ES 1990-107643	19870121
CN 87100436	A	19870812	CN 1987-100436	19870127
CN 1016661	B	19920520		
BR 8700357	A	19871208	BR 1987-357	19870127
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AU 589250	B2	19891005		
HU 43238	A2	19871028	HU 1987-278	19870129
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JP 63146873	A2	19880618	JP 1987-17323	19870129
JP 2567235	B2	19961225		
RO 102426	B1	19920715	RO 1987-135520	19870129
SU 1826860	A3	19930707	SU 1987-4028928	19870129
JP 09012553	A2	19970114	JP 1996-135697	19870129
PL 149173	B1	19900131	PL 1987-263886	19870130
RO 102425	B1	19920801	RO 1988-135519	19881013
RO 102427	B1	19920801	RO 1988-135521	19881013
EP 388994	A1	19900926	EP 1990-107643	19900423
EP 388994	B1	19941005		
R: AT, CH, DE, ES, FR, GB, IT, LI, NL				
RU 2043718	C1	19950920	RU 1991-4895871	19910628
RU 2027715	C1	19950127	RU 1991-5001676	19910928
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CN 1042690	B	19990331		
CN 1062352	A	19920701	CN 1992-100308	19920118
CN 1032137	B	19960626		
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JP 2567353	B2	19961225		
JP 07267928	A2	19951017	JP 1994-295946	19941107
JP 2506063	B2	19960612		

PRIORITY APPLN. INFO.:

JP 1986-19006	19860130
JP 1986-19863	19860131
JP 1986-86847	19860415
JP 1986-178489	19860729
EP 1987-300502	19870121
CN 1987-100436	19870127
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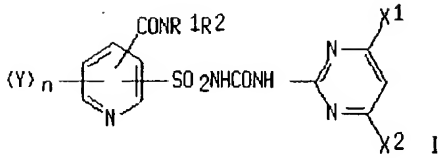

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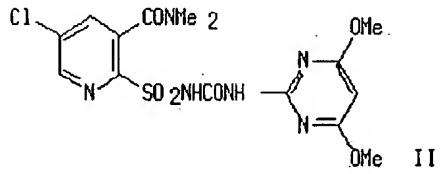


II

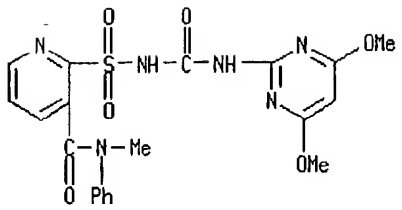
AB The title compds. [I; R1 = (halo)alkyl, (halo)alkoxyalkyl, alkenyl, alkynyl, (halo)alkoxy, (halo)cycloalkyl, (halo)alkoxycarbonyl, Ph, halophenyl; R2 = H, R1; R1R2N = heterocyclyl; X1, X2 = Me, MeO, EtO; Y = halo, (halo)alkyl, (halo)alkoxy, (halo)alkylthio, (halo)alkoxyalkyl; n = 0-2] and their salts were prepd. as herbicides. 2,5-Dichloronicotinic acid was converted to its acid chloride and amidated with Me2NH. The resulting nicotinamide successively was substituted with PhCH2SH, oxidized with Cl, amidated with Me3CNH2, and deprotected with CF3CO2H to give 5-chloro-N,N-dimethyl-2-sulfamoylnicotinamide. The latter was stirred with Ph (4,6-dimethoxy-2-pyrimidinyl)carbamate at room temp. in MeCN contg. 1,8-diazabicyclo[5.4.0]undec-7-ene to give (pyridinylsulfonyl)pyrimidinylurea II. In postemergence tests 1.25 g II/are gave 100% kill of, e.g., Echinochloa crus-galli and Xanthium strumarium with little effect on corn.

IT **111990-68-2P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 111990-68-2 HCAPLUS

CN 3-Pyridinecarboxamide, 2-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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L22              0 S L18 AND HAMPEL, M?/AU
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